

(19) World Intellectual Property  
Organization  
International Bureau



518 653

(43) International Publication Date  
8 January 2004 (08.01.2004)

PCT

(10) International Publication Number  
WO 2004/002992 A1

(51) International Patent Classification<sup>7</sup>: C07D 491/06,  
498/04, 513/04, A61P 31/04, A61K 31/5365, 31/542

(21) International Application Number:  
PCT/EP2003/006756

(22) International Filing Date: 25 June 2003 (25.06.2003)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:  
60/391,700 26 June 2002 (26.06.2002) US  
60/460,961 7 April 2003 (07.04.2003) US

(71) Applicant (for all designated States except US): GLAXO  
GROUP LIMITED [GB/GB]; Glaxo Wellcome House,  
Berkeley Avenue, Greenford, Middlesex UB6 0NN (GB).

(72) Inventors; and

(75) Inventors/Applicants (for US only): AXTEN, Jef-  
frey, Michael [US/US]; GlaxoSmithKline, 1250S.  
Collegeville Road, P.O. Box 5089, Collegeville, PA  
19426-0989 (US). DAINES, Robert, A. [US/US]; Glax-  
oSmithKline, 1250S. Collegeville Road, P.O. Box 5089,  
Collegeville, PA 19426-0989 (US). DAVIES, David,  
Thomas [GB/GB]; GlaxoSmithKline, New Frontiers  
Science Park South, Third Avenue, Harlow, Essex CM19  
5AW (GB). GALLAGHER, Timothy, Francis [US/US];  
GlaxoSmithKline, 1250S. Collegeville Road, P.O. Box  
5089, Collegeville, PA 19426-0989 (US). JONES, Gra-  
ham, Elgin [GB/GB]; GlaxoSmithKline, New Frontiers  
Science Park South, Third Avenue, Harlow, Essex CM19

5AW (GB). MILLER, William, Henry [US/US]; Glax-  
oSmithKline, 1250S. Collegeville Road, P.O. Box 5089,  
Collegeville, PA 19426-0989 (US). PEARSON, Neil,  
David [GB/GB]; GlaxoSmithKline, New Frontiers Sci-  
ence Park South, Third Avenue, Harlow, Essex CM19 5AW  
(GB). PENDRAK, Israil [US/US]; GlaxoSmithKline,  
1250S. Collegeville Road, P.O. Box 5089, Collegeville,  
PA 19426-0989 (US).

(74) Agent: VALENTINE, Jill, Barbara; GlaxoSmithKline,  
980 Great West Road, Brentford, Middlesex TW8 9GS  
(GB).

(81) Designated States (national): AE, AG, AL, AM, AT, AU,  
AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU,  
CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,  
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,  
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW,  
MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD,  
SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US,  
UZ, VC, VN, YU, ZA, ZM, ZW.

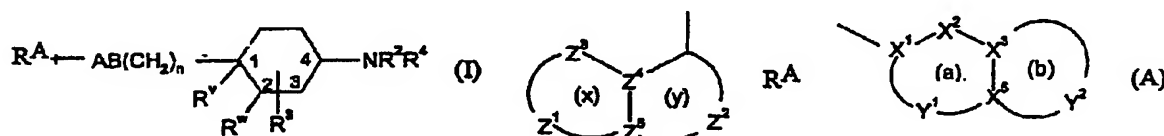
(84) Designated States (regional): ARIPO patent (GH, GM,  
KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW),  
Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM),  
European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE,  
ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO,  
SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM,  
GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:

— with international search report

For two-letter codes and other abbreviations, refer to the "Guid-  
ance Notes on Codes and Abbreviations" appearing at the begin-  
ning of each regular issue of the PCT Gazette.

(54) Title: COMPOUNDS



(57) Abstract: Cyclohexane and cyclohexene derivatives and pharmaceutically acceptable derivatives thereof useful in methods of treatment of bacterial infections in mammals, particularly man. A compound of formula (I) or a pharmaceutically acceptable derivative thereof: (I)  $R^A$  is an optionally substituted bicyclic carbocyclic or heterocyclic ring system of structure: containing 0-3 heteroatoms in each ring in which: at least one of the rings (x) and (y) is aromatic; one of  $Z^4$  and  $Z^5$  is C or N and the other is C;  $Z^3$  is N,  $NR^{13}$ , O,  $S(O)_x$ , CO,  $CR^1$  or  $CR^1R^{1a}$ ;  $Z^1$  and  $Z^2$  are independently a 2 or 3 atom linker group each atom of which is independently selected from N,  $NR^{13}$ , O,  $S(O)_x$ , CO,  $CR^1$ , and  $CR^1R^{1a}$ ; such that each ring is independently substituted with 0-3 groups  $R^1$  and/or  $R^{1a}$ .  $R^4$  is a group  $-CH_2-R^5$  in which  $R^5$  is selected from:  $(C_{4-8})$  alkyl; hydroxy  $(C_{4-8})$  alkyl;  $(C_{1-4})$  alkoxy  $(C_{4-8})$  alkyl;  $(C_{1-4})$  alkanoyloxy  $(C_{4-8})$  alkyl;  $(C_{3-8})$  cycloalkyl  $(C_{4-8})$  alkyl; hydroxy-,  $(C_{1-6})$  alkoxy- or  $(C_{1-6})$  alkanoyloxy- $(C_{3-8})$  cycloalkyl  $(C_{4-8})$  alkyl; cyano  $(C_{4-8})$  alkyl;  $(C_{4-8})$  alkenyl;  $(C_{4-8})$  alkynyl; tetrahydrofuryl; mono- or di- $(C_{1-6})$  alkylamino  $(C_{4-8})$  alkyl; acylamino  $(C_{4-8})$  alkyl;  $C_{(1-6)}$  alkyl- or acyl-aminocarbonyl  $(C_{4-8})$  alkyl; mono- or di-  $(C_{1-6})$  alkylamino(hydroxy)  $(C_{4-8})$  alkyl; or  $R^4$  is a group-U- $R^5$  where  $R^5$  is an optionally substituted bicyclic carbocyclic or heterocyclic ring system (A): containing up to four heteroatoms in each ring in which at least one of rings (a) and (b) is aromatic;  $X^1$  is C or N when part of an aromatic ring or  $CR^{14}$  when part of a non-aromatic ring.

WO 2004/002992 A1